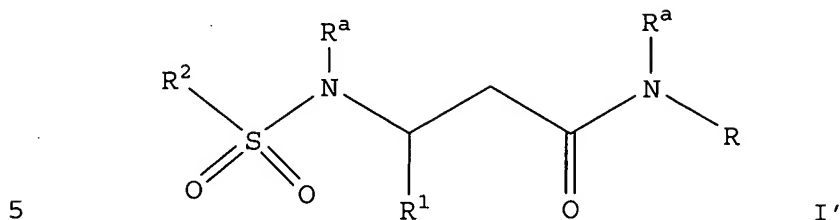


WHAT IS CLAIMED IS:

1. A compound of Formula I'



wherein R is a 9-11 membered fused bicyclic carbocyclic or heterocyclic ring substituted with one to three basic moieties, and optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, oxo, (C₁-C₆)alkoxy, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)R^{8'}, and (C₁-C₆)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'};

wherein R⁸ and R^{8'} independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;

wherein R¹ is selected from cycloalkyl, aryl, aryl-(CH₂)₀₋₂-, heteroaryl and heterocyclyl, each of which is optionally substituted with one to five groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-

C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'}, and

5 (C₂-C₆)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, 10 (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'};

wherein R² is selected from arylalkenyl, aryl, and heterocyclyl selected from thienyl, imidazolyl and 15 benzofused heteroaryl, wherein R² is optionally substituted with one to five groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, oxo, (C₁-C₆)alkoxy, haloalkoxy, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)R^{8'}, and 20

(C₁-C₆)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, 25 (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'}; and

wherein each R^a is independently selected from H, 30 aminocarbonylmethyl and C₁₋₄-alkyl, and aryl optionally substituted with one to three groups selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl,

(C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸,
-C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'};

and pharmaceutically acceptable derivatives thereof;
provided the basic moiety is not phenylaminomethyl; further
5 provided R₁ is not 4-cyanophenyl; and further provided the
basic moiety is not trifluomethylaminomethyl.

2. The compound of Claim 1 wherein R is a partially
unsaturated carbocyclic ring.

10

3. The compound of Claim 2 wherein R is 1,2,3,4-
tetrahydronaphthyl.

4. The compound of Claim 2 wherein R is indanyl.

15

5. The compound of Claim 2 wherein R is selected from
1,2,3,4-tetrahydronaphth-1-yl, 1,2,3,4-tetrahydronaphth-2-
yl, indan-1-yl and indan-2-yl.

20

6. The compound of Claim 1 wherein R is partially
unsaturated heterocyclyl.

7. The compound of Claim 6 wherein R is chroman.

25

8. The compound of Claim 6 wherein R is 2,2-dioxo-3,4-
dihydro-1H-2,1-benzothiazinyl.

9. The compound of Claim 1 wherein R is chroman-4-yl,
5,6,7,8-tetrahydro-quinazolin-5-yl, 5,6,7,8-tetrahydro-
30 [1,6]naphthyridin-4-yl or 2,2-dioxo-3,4-dihydro-1H-2,1-
benzothiazin-4-yl.

10. The compound of Claim 1

wherein R^1 is selected from C_{5-6} cycloalkyl, phenyl, benzyl, naphthyl, benzo[1,3]dioxolyl, benzothiadiazolyl, thienyl- CH_2- , indolyl- CH_2- , benzoxadiazolyl, benzothienyl, 2,3-dihydro-benzo[1,4]dioxinyl, benzofuranyl, tetrahydro-quinolinyl, tetrahydro-isoquinolinyl, dihydrobenzofuranyl, thiazolyl, furanyl and thienyl; wherein R^1 is optionally substituted with one to five groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, halo (C_1-C_6) alkyl, oxo, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$, and (C_1-C_6) alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, halo (C_1-C_6) alkyl, oxo, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$;

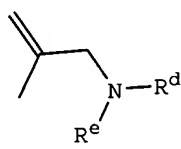
wherein R^2 is selected from phenyl- $CH=CH-$, tetrahydronaphthyl, naphtho[2.3-d]dioxolyl, benzofuranyl, benzoxadiazolyl, benzothiadiazolyl, benzothiazolyl, 1H-pyrazolyl, thienyl, isoxazolthienyl, benzothienyl, thieno[3,2-c]pyridinyl, naphthyl, phenyl, pyridinyl, tetrahydroisoquinolinyl, quinolinyl and isoquinolinyl; wherein R^2 is optionally substituted with one to five groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, oxo, (C_1-C_6) alkoxy, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, $-NR^8C(O)R^{8'}$, and (C_1-C_6) alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from

halo, $-\text{NH}_2$, $-\text{OH}$, $-\text{CN}$, $-\text{CF}_3$, $(\text{C}_1\text{-C}_6)\text{alkylamino}$, $\text{halo}(\text{C}_1\text{-C}_6)\text{alkyl}$, oxo , $(\text{C}_1\text{-C}_6)\text{alkoxy}$, $(\text{C}_1\text{-C}_6)\text{alkoxy}(\text{C}_1\text{-C}_6)\text{alkyl}$, $(\text{C}_1\text{-C}_6)\text{alkyl}$, $(\text{C}_2\text{-C}_6)\text{alkenyl}$, $(\text{C}_2\text{-C}_6)\text{alkynyl}$, $\text{di}(\text{C}_1\text{-C}_6)\text{alkylamino}$, $-\text{C}(\text{O})\text{R}^8$, $-\text{COOR}^8$, $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$, and $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$; and preferably with one or two groups independently selected from $-\text{Cl}$, $-\text{F}$ or $-\text{CF}_3$;

wherein R^a is selected from H and $\text{C}_{1-2}\text{-alkyl}$;

wherein the one to three basic moieties on R are

independently selected from cycloalkylamino $(\text{C}_1\text{-C}_6)\text{alkyl}$,

10 cycloalkyl $(\text{C}_1\text{-C}_6)\text{alkylamino}(\text{C}_1\text{-C}_6)\text{alkyl}$, ,
heteroarylamino $(\text{C}_1\text{-C}_6)\text{alkyl}$, heteroaryl $(\text{C}_1\text{-C}_6)\text{alkylamino}(\text{C}_1\text{-C}_6)\text{alkyl}$, arylamino $(\text{C}_1\text{-C}_6)\text{alkyl}$,
alkoxyalkylaminoalkyl, hydroxyalkylaminoalkyl,
alkenylalkylaminoalkyl, aminocarbonylalkylamino-alkyl,
15 carboxyalkylaminoalkyl, aryl $(\text{C}_1\text{-C}_6)\text{alkylamino}(\text{C}_1\text{-C}_6)\text{alkyl}$,
 $\text{C}_{1-6}\text{-alkylamino-C}_{1-6}\text{-alkoxy}$, $\text{C}_{1-6}\text{-alkylamino-C}_{1-6}\text{-alkoxy-C}_{1-6}\text{-alkoxy}$, haloalkylaminoalkyl, amino $(\text{C}_1\text{-C}_6)\text{alkoxy}$, amino $(\text{C}_1\text{-C}_6)\text{alkyl}$, $(\text{C}_1\text{-C}_6)\text{alkylamino}(\text{C}_1\text{-C}_6)\text{alkyl}$, 5-6 membered
heterocyclyloxy, 5-8 membered nitrogen-containing
20 heterocyclyl, 5-7 membered nitrogen-containing
heterocyclyl-alkylaminoalkyl and 5-7 membered
heterocyclyl-alkyl; and wherein each of said basic
substituents is optionally substituted with one to three
groups independently selected from halo, $-\text{NH}_2$, $-\text{OH}$, $-\text{CN}$,
25 $-\text{CF}_3$, $(\text{C}_1\text{-C}_6)\text{alkylamino}$, oxo , $(\text{C}_1\text{-C}_6)\text{alkoxy}$, $(\text{C}_2\text{-C}_6)\text{alkenyl}$, $(\text{C}_2\text{-C}_6)\text{alkynyl}$, $\text{di}(\text{C}_1\text{-C}_6)\text{alkylamino}$, $-\text{C}(\text{O})\text{R}^8$, $-\text{COOR}^8$, $-\text{C}(\text{O})\text{NR}^8\text{R}^{8'}$, $-\text{NR}^8\text{C}(\text{O})\text{R}^{8'}$, and
 $(\text{C}_1\text{-C}_6)\text{alkyl}$, aryl, heteroaryl, cycloalkyl or
heterocyclyl, each of which is optionally substituted
30 with one to three groups independently selected from
halo, $-\text{NH}_2$, $-\text{OH}$, $-\text{CN}$, $-\text{CF}_3$, $(\text{C}_1\text{-C}_6)\text{alkylamino}$, halo $(\text{C}_1\text{-C}_6)\text{alkyl}$, oxo , $(\text{C}_1\text{-C}_6)\text{alkoxy}$, $(\text{C}_1\text{-C}_6)\text{alkoxy}(\text{C}_1\text{-C}_6)\text{alkyl}$,

(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'}; and

wherein R^d is selected from alkyl, cycloalkyl,

5 cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, and H;
wherein R^e is H; or where R^d and R^e together with the
nitrogen atom to which they are attached form a
heterocyclic ring;

and pharmaceutically acceptable derivatives thereof.

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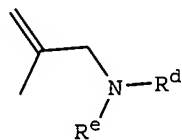
11. The compound of Claim 10

wherein R¹ is selected from cyclohexyl, phenyl, benzyl,
naphthyl, benzo[1,3]dioxolyl, 2,1,3-benzothiadiazol-5-yl,
2,1,3-benzoxadiazol-5-yl, benzothien-5-yl, 2,3-dihydro-
15 benzo[1,4]dioxin-6-yl, benzofuranyl, tetrahydro-quinolinyl,
tetrahydro-isoquinolinyl, dihydrobenzofuranyl, 1,3-thiazol-
2-yl, thienyl-CH₂-, indolyl-CH₂-, furanyl, and thienyl;
wherein R¹ is optionally substituted with one to five groups
independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-
20 C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-
C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-
C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'},
and -NR⁸C(O)R^{8'}, and

(C₁-C₆)alkyl, aryl, heteroaryl, cycloalkyl and
25 heterocyclyl, each of which is optionally substituted
with one to three groups independently selected from
halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-
C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl,
(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-
30 C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -
NR⁸C(O)R^{8'};

wherein R² is selected from phenyl-CH=CH-,
tetrahydronaphthyl, naphtho[2.3-d]dioxol-6-yl, 1-benzofur-2-
yl, 2,1,3-benzoxadiazol-4-yl, 2,1,3-benzothiadiazol-4-yl,

- 1,3-benzothiazol-2-yl, 1H-pyrazol-4-yl, thien-2-yl, 5-isoxazolthien-2-yl, benzothien-2-yl, benzothien-3-yl, thieno[3,2-c]pyridin-2-yl, naphthyl, phenyl, 3-pyridyl, tetrahydroisoquinolyl, quinol-8-yl and isoquinolyl; wherein
- 5 R^2 is optionally substituted with one to five groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, oxo, (C_1-C_6) alkoxy, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, $-NR^8C(O)R^{8'}$, and
- 10 (C_1-C_6) alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, halo (C_1-C_6) alkyl, oxo, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl,
- 15 (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$; and preferably with one or two groups independently selected from $-Cl$, $-F$ or $-CF_3$;
- wherein R^a is H or methyl;
- 20 wherein the basic substituent on R is selected from $-NH_2$,



- R^e , C_{3-6} -cycloalkyl (C_1-C_2) alkylamino (C_1-C_2) alkyl, C_{3-6} -cycloalkylamino (C_1-C_2) alkyl, (C_1-C_2) alkoxy (C_1-C_2) alkylamino (C_1-C_2) alkyl, mono- C_{2-4} -alkenylamino- C_{1-4} -alkyl, di- C_{2-4} -alkenylamino- C_{1-4} -alkyl, hydroxy- C_{1-4} -alkylamino- C_{1-4} -alkyl, aminocarbonyl- C_{1-4} -alkylamino- C_{1-2} -alkyl, mono- C_{1-6} -alkylamino- C_{1-4} -alkyl, di- C_{1-4} -alkylamino- C_{1-4} -alkyl and 5-8 membered heterocyclyl- C_{1-4} -alkyl; and
- 25 wherein R^d is selected from C_{1-5} -alkyl, C_{3-6} -cycloalkyl, C_{3-6} -cycloalkyl- C_{1-4} -alkyl, C_{1-4} -hydroxyalkyl, C_{1-3} -alkoxy- C_{1-3} -alkyl and H; and
- 30

wherein R^e is H; or where R^d and R^e together with the nitrogen atom to which they are attached form a 4-8 membered nitrogen-containing heterocyclic ring; and pharmaceutically acceptable derivatives thereof.

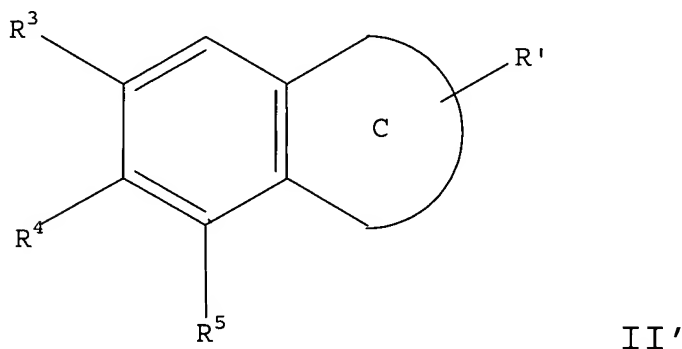
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12. The compound of Claim 1 wherein R^a is H; and pharmaceutically acceptable derivatives thereof.

13. The compound of Claim 11 wherein the basic
10 substituent on R is selected from -NH₂, aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, *iso*-butylaminomethyl, 1-methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl,
15 allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-*iso*-butyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl,
25 cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl,
30 pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl,

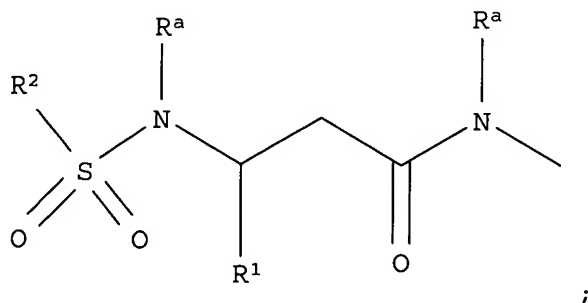
4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl; and pharmaceutically acceptable derivatives thereof.

14. A compound of formula II'



wherein the C ring is a 4- to 7- membered saturated carbocyclic or heterocyclic moiety;

wherein R' is



wherein R^1 is selected from cycloalkyl, aryl, heteroaryl and heterocyclyl selected from thienyl, imidazolyl and benzofused heteroaryl, each of which is optionally substituted with one to five groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, halo (C_1-C_6) alkyl, oxo, (C_1-C_6) alkoxy, haloalkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$, and (C_1-C_6) alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, halo (C_1-C_6) alkyl, oxo, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$;

wherein R^2 is selected from arylalkenyl, aryl, and heterocyclyl, wherein R^2 is optionally substituted with one to five groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, oxo, (C_1-C_6) alkoxy, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, $-NR^8C(O)R^{8'}$, and (C_1-C_6) alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, halo (C_1-C_6) alkyl, oxo, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$;

wherein R^a is independently selected from H and C_{1-4} -alkyl, or

aryl optionally substituted with one to three groups
selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-
C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy,
(C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl,
5 (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸,
-C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'};
wherein R³, R⁴ and R⁵ are the same or different and represent
H, halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, oxo, (C₁-
C₆)alkoxy, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-
10 C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)R^{8'},
a basic moiety, or
(C₁-C₂)alkyl, aryl, heteroaryl, cycloalkyl or
heterocyclyl, each of which is optionally substituted
with one to three groups independently selected from
15 halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-
C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl,
(C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-
C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -
NR⁸C(O)R^{8'}; and
20 wherein R⁸ and R^{8'} independently are selected from H, and
lower alkyl, aryl and heteroaryl, each of which is
optionally substituted with one, two or three groups
independently selected from lower alkyl, halogen,
lower alkoxy, hydroxy, amino, mono- or dialkylamino,
25 and trifluoromethyl;
provided at least one of R³, R⁴ and R⁵ is a basic moiety;
and pharmaceutically acceptable derivatives thereof.

15. The compound of Claim 14 wherein R³ and R⁵ are H;
30 and wherein R⁴ is selected from -NH₂, aminomethyl,
aminoethyl, aminopropyl, isopropylaminomethyl, t-
butylaminomethyl, *iso*-butylaminomethyl, 1-
methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-
dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl,

allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;

and pharmaceutically acceptable derivatives thereof.

16. The compound of Claim 14 wherein R⁴ and R⁵ are H; and wherein R³ is selected from -NH₂, aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, iso-butylaminomethyl, 1-methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonyl ethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-

ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl; and pharmaceutically acceptable derivatives thereof.

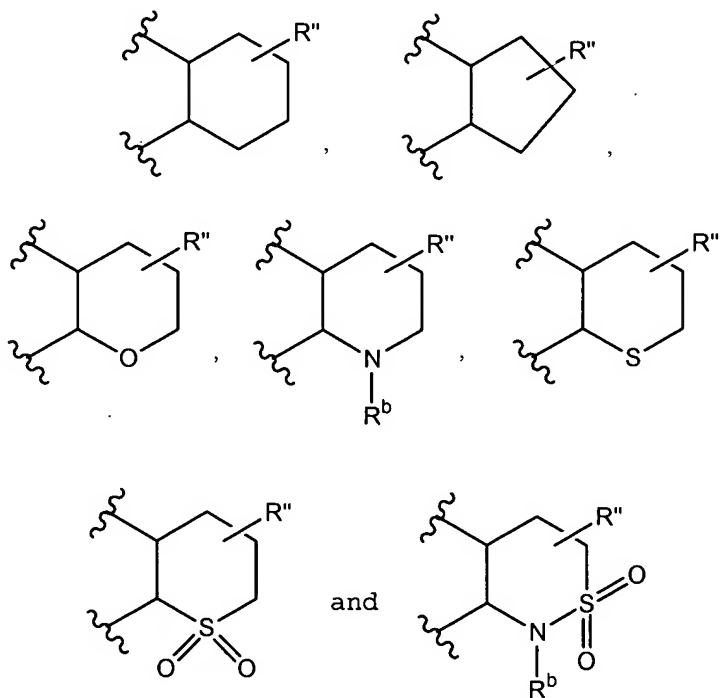
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17. The compound of Claim 14 wherein R³ and R⁴ are H; and wherein R⁵ is selected from -NH₂, aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, iso-butylaminomethyl, 1-methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-

dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl; and pharmaceutically acceptable derivatives thereof.

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18. The compound of Claim 14 wherein the C ring is selected from



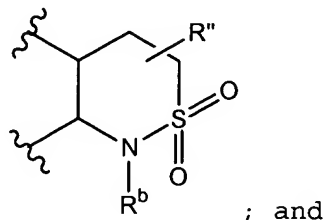
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wherein R^b is independently selected from R' , H and C_{1-2} -alkyl; and

wherein R'' is R' when R^b is hydrogen or C_{1-2} alkyl, or R'' is hydrogen when R^b is R' .

20

19. The compound of Claim 18 wherein the C ring is



5 wherein R^b is R' .

20. The compound of Claim 14 wherein R^1 is selected from cyclohexyl, phenyl, naphthyl, benzo[1,3]dioxolyl, 2,1,3-benzothiadiazol-5-yl, 2,1,3-benzoxadiazol-5-yl, benzothien-5-yl, 2,3-dihydrobenzo[1,4]dioxin-6-yl, benzofuranyl, tetrahydroquinolinyl, tetrahydro-isoquinolinyl, dihydrobenzofuranyl, 1,3-thiazol-2-yl, furanyl, and thienyl; wherein R^1 is optionally substituted with one to
 15 five groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, haloalkyl, oxo, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$, and
 20 (C_1-C_6) alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1-C_6) alkylamino, halo (C_1-C_6) alkyl, oxo, (C_1-C_6) alkoxy, (C_1-C_6) alkoxy (C_1-C_6) alkyl, (C_1-C_6) alkyl, (C_2-C_6) alkenyl, (C_2-C_6) alkynyl, di (C_1-C_6) alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, and $-NR^8C(O)R^{8'}$.
 25

21. The compound of Claim 14

wherein R^2 is selected from phenyl-CH=CH-, tetrahydronaphthyl, naphtho[2.3-d]dioxol-6-yl, 1-benzofuran-2-yl, 2,1,3-benzoxadiazol-4-yl, 2,1,3-benzothiadiazol-4-yl, 1,3-benzothiazol-2-yl, 1H-pyrazol-4-yl, thien-2-yl, 5-isoxazolthien-2-yl, benzothien-2-yl, benzothien-3-yl, thieno[3,2-c]pyridin-2-yl, naphthyl, phenyl, 3-pyridinyl, tetrahydroisoquinolinyl, quinolinyl and isoquinolinyl; wherein R^2 is optionally substituted with one or more groups selected from halo, $-NH_2$, $-OH$, $-CO_2H$, (C_1-C_2) alkylamino, (C_1-C_2) alkoxy, (C_1-C_2) alkoxy- (C_1-C_2) alkyl, (C_1-C_2) alkyl, halo (C_1-C_2) alkyl, di (C_1-C_2) alkylamino, and phenyl.

22. The compound of Claim 14 wherein R^2 is selected from 2-naphthyl, 1-naphthyl, phenyl, 3-chlorophenyl, 4-chlorophenyl, 3,5-dichlorophenyl, 3,4-dichlorophenyl, 2,4,6-trichlorophenyl, 3-fluorophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-biphenyl, 4'-chlorophenyl-3-phenyl, 3-methylphenyl, 3-trifluoromethylphenyl, 2-chlorobenzothien-3-yl, and 3-pyridinyl; wherein R^2 is optionally substituted with one or more groups selected from halo, $-NH_2$, $-OH$, $-CO_2H$, (C_1-C_2) alkylamino, (C_1-C_2) alkoxy, (C_1-C_2) alkoxy- (C_1-C_2) alkyl, (C_1-C_2) alkyl, halo (C_1-C_2) alkyl, di (C_1-C_2) alkylamino, and phenyl.

23. Compound of Claim 14 wherein R^3 is H.

24. Compound of Claim 14 wherein R^2 is 2-naphthyl.

25. Compound of Claim 14 wherein R^2 is 3,4-dichlorophenyl.

26. Compound of Claim 14 wherein R^2 is 3-trifluoromethylphenyl.

27. Compound of Claim 14 and/or pharmaceutically acceptable derivatives thereof selected from

- 3-(Naphthalen-2-ylsulfonylamino)-3-phenyl-N-(7-piperidin-1-ylmethyl-chroman-4-yl)-propionamide;
- 5 3-(3,4-Dichloro-benzenesulfonylamino)-3-phenyl-N-(7-piperidin-1-ylmethyl-chroman-4-yl)-propionamide;
- 3-(Naphthalen-2-yl-sulfonylamino)-3-phenyl-N-(5-piperidin-1-ylmethyl-indan-1-yl)-propionamide;
- 3-(Naphthalen-2-yl-sulfonylamino)-3-phenyl-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-propionamide;
- 10 (3S)-N-((1R)-6-((1,1-dimethylethyl)amino)-methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-(4-fluorophenyl)-3-((3-(trifluoromethyl)phenyl)-sulfonyl)amino)propanamide;
- (3R)-3-phenyl-N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-((3-
- 15 (trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
- (3R)-N-((1R)-6-(4-fluoro-1-piperidinyl)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenyl-3-((3-
- (trifluoromethyl)phenyl)-sulfonyl)amino)propanamide;
- 20 (3R)-N-((1R)-5-(4,4-difluoro-1-piperidinyl)methyl)-2,3-dihydro-1H-inden-1-yl)-3-phenyl-3-((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
- (3R)-N-((1R)-6-(cyclopentylamino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-(4-fluorophenyl)-3-((3-
- 25 (trifluoromethyl)phenyl)sulfonyl)-amino)propanamide;
- (3R)-3-(4-fluorophenyl)-N-((1R)-6-(1-pyrrolidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-((3-
- (trifluoromethyl)phenyl)sulfonyl)-amino)propanamide;
- (3R)-N-((1R)-6-(4,4-difluoro-1-piperidinyl)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenyl-3-((3-
- 30 (trifluoromethyl)phenyl)-sulfonyl)amino)propanamide;

- (3R)-3-(methyl((3-(trifluoromethyl)phenyl)sulfonyl)amino)-3-phenyl-N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)propanamide;
- (3R)-3-(((5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl)amino)-N-(6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenylpropanamide;
- (3R)-3-(((5-chloro-3-methyl-1-benzothien-2-yl)sulfonyl)amino)-3-phenyl-N-(6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)propanamide;
- (3R)-N-((1R)-6-(3,6-dihydro-1(2H)-pyridinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenyl-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
- (3R)-3-(((5-chloro-1-benzothien-2-yl)sulfonyl)amino)-3-(6-(methyloxy)-3-pyridinyl)-N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)propanamide;
- (3R)-3-(6-(methyloxy)-3-pyridinyl)-N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
- (3S)-3-(4-fluorophenyl)-N-((1R)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
- (3R)-3-(((3,4-dichlorophenyl)sulfonyl)amino)-N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenylpropanamide;
- (3R)-N-((1R)-6-((cyclopentylamino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-(((3,4-dichlorophenyl)sulfonyl)amino)-3-phenylpropanamide;
- (3R)-3-(((3,4-dichlorophenyl)sulfonyl)amino)-N-((1R)-6-((2-methylpropyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenylpropanamide;
- (3R)-3-(((3,4-dichlorophenyl)sulfonyl)amino)-N-((1R)-6-(((1,1-dimethylethyl)amino)methyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenylpropanamide;

- 3- (3,4-Dichloro-benzenesulfonylamino) -3- (4-fluoro-phenyl) -N-
(7-piperidin-1-ylmethyl-chroman-4-yl) -propionamide;
3- (4-Fluoro-phenyl) -N- (7-piperidin-1-ylmethyl-chroman-4-yl) -
3- (3-trifluoromethyl-benzenesulfonylamino) -propionamide;
5 3- (3,4-Dichloro-benzenesulfonylamino) -3- (4-fluoro-phenyl) -N-
{7- [(2-methoxy-ethylamino) -methyl] -chroman-4-yl} -
propionamide;
3- (3,4-Dichloro-benzenesulfonylamino) -3- (4-fluoro-phenyl) -N-
[6- (isobutylamino-methyl) -1,2,3,4-tetrahydro-naphthalen-1-
10 yl] -propionamide;
3- (3,4-Dichloro-benzenesulfonylamino) -3- (4-fluoro-phenyl) -N-
[6- (isopropylamino-methyl) -1,2,3,4-tetrahydro-naphthalen-1-
yl] -propionamide;
3- (3,4-Dichloro-benzenesulfonylamino) -3- (4-fluoro-phenyl) -N-
15 {6- [(2-methoxy-ethylamino) -methyl] -1,2,3,4-tetrahydro-
naphthalen-1-yl} -propionamide;
N- [7- (tert-Butylamino-methyl) -chroman-4-yl] -3- (3,4-dichloro-
benzenesulfonylamino) -3- (4-fluoro-phenyl) -propionamide;
N- [6- (tert-Butylamino-methyl) -1,2,3,4-tetrahydro-naphthalen-
20 1-yl] -3- (3,4-dichloro-benzenesulfonylamino) -3- (4-fluoro-
phenyl) -propionamide;
3- (4-Fluoro-phenyl) -N- [7- (isobutylamino-methyl) -chroman-4-
yl] -3- (3-trifluoromethyl-benzenesulfonylamino) -propionamide;
N- [7- (tert-Butylamino-methyl) -chroman-4-yl] -3- (4-fluoro-
25 phenyl) -3- (3-trifluoromethyl-benzenesulfonylamino) -
propionamide;
N- (6-Cyclobutylaminomethyl-1,2,3,4-tetrahydro-naphthalen-1-
yl) -3- (4-fluoro-phenyl) -3- (3-trifluoromethyl-
benzenesulfonylamino) -propionamide;
30 3- (4-Fluoro-phenyl) -N- [6- (isobutylamino-methyl) -1,2,3,4-
tetrahydro-naphthalen-1-yl] -3- (3-trifluoromethyl-
benzenesulfonylamino) -propionamide;

- 3-(4-Fluoro-phenyl)-N-[6-(isopropylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 3-(4-Fluoro-phenyl)-N-{6-[(2-methoxy-ethylamino)-methyl]-1,2,3,4-tetrahydro-naphthalen-1-yl}-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 3-(4-Fluoro-phenyl)-N-(7-pyrrolidin-1-ylmethyl-chroman-4-yl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- N-[6-(tert-Butylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(3-nitro-phenyl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- N-[6-(tert-Butylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(3-cyano-phenyl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 3-(4-tert-Butyl-benzenesulfonylamino)-N-(6-cyclobutylaminomethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-3-(4-fluoro-phenyl)-propionamide;
- 3-(4-tert-Butyl-benzenesulfonylamino)-3-(4-fluoro-phenyl)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-propionamide;
- 3-(4-tert-Butyl-benzenesulfonylamino)-3-(4-fluoro-phenyl)-N-[6-(isobutylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-propionamide;
- N-[6-(tert-Butylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(4-tert-butyl-benzenesulfonylamino)-3-(4-fluoro-phenyl)-propionamide;
- 3-(3-Fluoro-phenyl)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- N-(6-Cyclopentylaminomethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-3-(3,4-dichloro-benzenesulfonylamino)-3-(3-fluoro-phenyl)-propionamide;

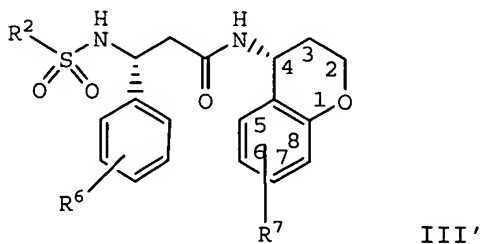
- N-[7-(tert-Butylamino-methyl)-6-chloro-chroman-4-yl]-3-(4-fluoro-phenyl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 3-(4-Fluoro-phenyl)-N-[6-(4-fluoro-piperidin-1-ylmethyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 3-(3-Chloro-phenyl)-3-(3,4-dichloro-benzenesulfonylamino)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-propionamide;
- 3-(3,4-Dichloro-benzenesulfonylamino)-3-(3-fluoro-phenyl)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-propionamide;
- N-[6-(tert-Butylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(3,4-dichloro-benzenesulfonylamino)-3-(3-fluoro-phenyl)-propionamide;
- N-[6-(tert-Butylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(3,4-dichloro-benzenesulfonylamino)-3-(3-fluoro-phenyl)-propionamide;
- N-{7-[(Cyclopropylmethyl-amino)-methyl]-chroman-4-yl}-3-(4-fluoro-phenyl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- N-{6-[(Cyclopropylmethyl-amino)-methyl]-1,2,3,4-tetrahydro-naphthalen-1-yl}-3-(4-fluoro-phenyl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- N-[7-(tert-Butylamino-methyl)-chroman-4-yl]-3-(2-chloro-5-trifluoromethyl-benzenesulfonylamino)-3-(4-fluoro-phenyl)-propionamide;
- N-[6-(tert-Butylamino-methyl)-1,2,3,4-tetrahydro-naphthalen-1-yl]-3-(4-nitro-phenyl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 3-(4-Chloro-phenyl)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;

- 3-(3,5-Dichloro-phenyl)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 3-(2-Fluoro-phenyl)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 5 3-(4-Fluoro-phenyl)-N-(6-piperidin-1-ylmethyl-1,2,3,4-tetrahydro-naphthalen-1-yl)-3-(3-trifluoromethyl-benzenesulfonylamino)-propionamide;
- 10 (3R)-3-Phenyl-N-((4R)-7-(1-piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
- (3R)-3-(((3,4-Dichlorophenyl)sulfonyl)amino)-3-phenyl-N-((4R)-7-(1-piperidinylmethyl)-3,4-dihydro-2H-chromen-4-yl)propanamide;
- 15 (3R)-3-(((3,4-Dichlorophenyl)sulfonyl)amino)-N-((4R)-7-(4-morpholinylmethyl)-3,4-dihydro-2H-chromen-4-yl)-3-phenylpropanamide;
- (3R)-N-((4R)-7-(((1,1-Dimethylethyl)amino)methyl)-3,4-dihydro-2H-chromen-4-yl)-3-phenyl-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
- 20 (3R)-3-((2-Naphthalenylsulfonyl)amino)-3-phenyl-N-((1S)-6-(1-piperidinylmethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)propanamide;
- 25 (3R)-N-((4R)-1-Methyl-2,2-dioxido-7-(1-piperidinylmethyl)-3,4-dihydro-1H-2,1-benzothiazin-4-yl)-3-((2-naphthalenylsulfonyl)amino)-3-phenylpropanamide;
- (3R)-3-((2-Naphthalenylsulfonyl)amino)-3-phenyl-N-((1S)-5-(1-piperidinylmethyl)-2,3-dihydro-1H-inden-1-yl)propanamide;
- 30 (3R)-N-((4R)-7-(4-Fluoro-1-piperidinyl)methyl)-3,4-dihydro-2H-chromen-4-yl)-3-phenyl-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;

- (3R)-N-((4R)-7-((4,4-Difluoro-1-piperidinyl)methyl)-3,4-dihydro-2H-chromen-4-yl)-3-phenyl-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
 (3R)-3-(((3,4-dichlorophenyl)sulfonyl)amino)-3-phenyl-N-
 5 ((1R)-6-(1-(1-piperidinylmethyl)ethenyl)-1,2,3,4-tetrahydro-1-naphthalenyl)propanamide;
 (3R)-N-((1R)-6-(1-((3S)-3-hydroxy-1-pyrrolidinyl)methyl)ethenyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenyl-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
 10 (3R)-3-phenyl-N-((1R)-6-(1-(1-pyrrolidinylmethyl)ethenyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
 (3R)-3-phenyl-N-((1R)-6-(1-(1-piperidinylmethyl)ethenyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanamide;
 15 (3R)-3-((hydroxy(oxido)(3-(trifluoromethyl)phenyl)-lambda-4-sulfanyl)amino)-N-((1R)-6-((1R)-1-((2-methylpropyl)amino)ethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-phenylpropanamide; and
 20 (3R)-N-((1R)-6-((1R)-1-((2-methylpropyl)amino)ethyl)-1,2,3,4-tetrahydro-1-naphthalenyl)-3-((2-naphthalenylsulfonyl)amino)-3-phenylpropanamide.

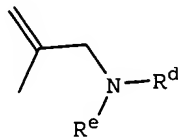
28. A compound of Formula III'

25



- wherein R^2 is selected from naphthyl, phenyl, pyridinyl, quinolinyl and isoquinolinyl, and wherein each is
 30 optionally substituted with one to three substituents

selected from chloro, fluoro, methoxy, methyl, trifluoromethyl, and phenyl; wherein R^6 is selected from H, halo, phenyl, methyl, methoxy and $-CF_3$;



- 5 wherein R^7 is selected from R^e , C_{3-6} -cycloalkyl (C_1 - C_2)alkylamino (C_1 - C_2)alkyl, C_{3-6} -cycloalkylamino (C_1 - C_2)alkyl, (C_1 - C_2)alkoxy (C_1 - C_2)alkylamino (C_1 - C_2)alkyl, mono- C_{2-4} -alkenylamino- C_{1-4} -alkyl, di- C_{2-4} -alkenylamino- C_{1-4} -alkyl, hydroxy- C_{1-4} -alkylamino- C_{1-4} -alkyl, aminocarbonyl- C_{1-4} -alkylamino- C_{1-2} -alkyl, mono- C_{1-6} -alkylamino- C_{1-4} -alkyl, di- C_{1-4} -alkylamino- C_{1-4} -alkyl and 5-8 membered heterocyclyl- C_{1-4} -alkyl; wherein the 5-8 membered heterocyclyl- $(CH_2)_p$ -optionally substituted with one to three groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$,
 10 (C_1 - C_6)alkylamino, oxo, (C_1 - C_6)alkoxy, (C_2 - C_6)alkenyl, (C_2 - C_6)alkynyl, di(C_1 - C_6)alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, $-NR^8C(O)R^{8'}$, $=NCN$;
 15 wherein R^d is selected from C_{1-5} -alkyl, C_{3-6} -cycloalkyl, C_{3-6} -cycloalkyl- C_{1-4} -alkyl, C_{1-4} -hydroxyalkyl, C_{1-3} -alkoxy- C_{1-3} -alkyl and H; and
 20 wherein R^e is H; or where R^d and R^e together with the nitrogen atom to which they are attached form a 4-8 membered nitrogen-containing heterocyclic ring;
 p is 1 or 2; and
 25 wherein R^8 and $R^{8'}$ independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino,
 30 and trifluoromethyl;
 wherein R^7 is at position 6, 7 or 8;
 and pharmaceutically acceptable derivatives thereof.

29. The compound of Claim 28 wherein R⁷ is selected from aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, iso-butylaminomethyl, 1-
- 5 methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-isopropyl-N-ethylaminomethyl, N-isopropyl-N-
- 10 methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-
- 15 butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl,
- 20 hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-
- 25 piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-
- 30 dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-

ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;

and pharmaceutically acceptable derivatives thereof.

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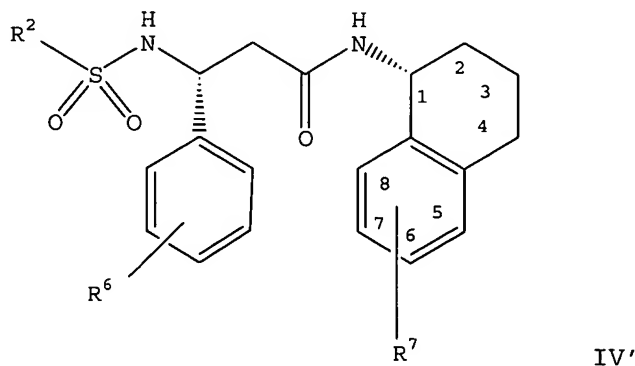
30. The compound of Claim 28 wherein R^7 is substituted at position 7.

31. The compound of Claim 28 wherein R^2 is 2-naphthyl, 10 3,4-dichlorophenyl or 3-trifluoromethylphenyl.

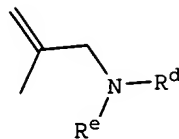
32. The compound of Claim 28 wherein R^6 is H.

33. A compound of formula IV'

15



wherein R^2 is selected from naphthyl, phenyl, pyridinyl, quinolinyl and isoquinolinyl, and wherein each is
20 optionally substituted with one to three substituents
selected from chloro, fluoro, methoxy, methyl, trifluoromethyl, and phenyl;
wherein R^6 is selected from H, halo, phenyl, methyl, methoxy
and $-CF_3$;



wherein R^7 is selected from R^e , C_{3-6} -cycloalkyl(C_1 - C_2)alkylamino(C_1 - C_2)alkyl, C_{3-6} -cycloalkylamino(C_1 - C_2)alkyl, (C_1 - C_2)alkoxy(C_1 - C_2)alkylamino(C_1 - C_2)alkyl, mono- C_{2-4} -alkenylamino- C_{1-4} -alkyl, di- C_{2-4} -alkenylamino- C_{1-4} -alkyl, hydroxy- C_{1-4} -alkylamino- C_{1-4} -alkyl, aminocarbonyl- C_{1-4} -alkylamino- C_{1-2} -alkyl, mono- C_{1-6} -alkylamino- C_{1-4} -alkyl, di- C_{1-4} -alkylamino- C_{1-4} -alkyl and 5-8 membered heterocyclyl- C_{1-4} -alkyl; wherein the 5-8 membered heterocyclyl- $(CH_2)_p$ -optionally substituted with one to three groups independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$, (C_1 - C_6)alkylamino, oxo, (C_1 - C_6)alkoxy, (C_2 - C_6)alkenyl, (C_2 - C_6)alkynyl, di(C_1 - C_6)alkylamino, $-C(O)R^8$, $-COOR^8$, $-C(O)NR^8R^{8'}$, $-NR^8C(O)R^8$, $=NCN$;

wherein R^d is selected from C_{1-5} -alkyl, C_{3-6} -cycloalkyl, C_{3-6} -cycloalkyl- C_{1-4} -alkyl, C_{1-4} -hydroxyalkyl, C_{1-3} -alkoxy- C_{1-3} -alkyl and H; and

wherein R^e is H; or where R^d and R^e together with the nitrogen atom to which they are attached form a 4-8 membered nitrogen-containing heterocyclic ring;

p is 1 or 2; and

wherein R^8 and $R^{8'}$ independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is

optionally substituted with one, two or three groups independently selected from lower alkyl, halogen,

lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;

wherein R^7 is at position 5, 6 or 7;

and pharmaceutically acceptable derivatives thereof.

34. The compound of Claim 33 wherein R^7 is selected from aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, *t*-butylaminomethyl, *iso*-butylaminomethyl, 1-

methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-
5 isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-
15 cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-
20 piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-
30 (methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;

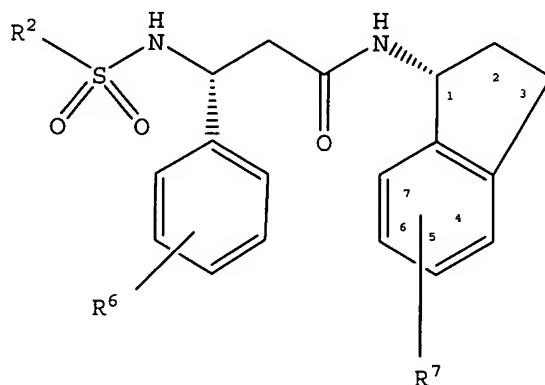
and pharmaceutically acceptable derivatives thereof.

35. The compound of Claim 33 wherein R^7 is substituted at position 6.

5 36. The compound of Claim 33 wherein R^2 is 2-naphthyl, 3,4-dichlorophenyl or 3-trifluoromethylphenyl.

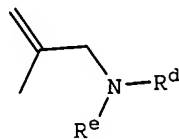
37. The compound of Claim 33 wherein R^6 is H.

10 38. A compound of formula V'



V'

wherein R^2 is selected from naphthyl, phenyl, pyridinyl,
 15 quinolinyl and isoquinolinyl, and wherein each is optionally substituted with one to three substituents selected from chloro, fluoro, methoxy, methyl, trifluoromethyl, and phenyl;
 wherein R^6 is selected from H, halo, phenyl, methyl, methoxy
 20 and $-CF_3$;



wherein R^7 is selected from R^e , C_{3-6} -cycloalkyl (C_1 - C_2)alkylamino (C_1 - C_2)alkyl, C_{3-6} -cycloalkylamino (C_1 - C_2)alkyl,

- (C₁-C₂)alkoxy(C₁-C₂)alkylamino(C₁-C₂)alkyl, mono-C₂₋₄-alkenylamino-C₁₋₄-alkyl, di-C₂₋₄-alkenylamino-C₁₋₄-alkyl, hydroxy-C₁₋₄-alkylamino-C₁₋₄-alkyl, aminocarbonyl-C₁₋₄-alkylamino-C₁₋₂-alkyl, mono-C₁₋₆-alkylamino-C₁₋₄-alkyl, di-C₁₋₄-alkylamino-C₁₋₄-alkyl and 5-8 membered heterocyclyl-C₁₋₄-alkyl; wherein the 5-8 membered heterocyclyl-(CH₂)_p-optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, oxo, (C₁-C₆)alkoxy, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)R^{8'}, =NCN; wherein R^d is selected from C₁₋₅-alkyl, C₃₋₆-cycloalkyl, C₃₋₆-cycloalkyl-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl and H; and
- wherein R^e is H; or where R^d and R^e together with the nitrogen atom to which they are attached form a 4-8 membered nitrogen-containing heterocyclic ring;
- p is 1 or 2; and
- wherein R⁸ and R^{8'} independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;
- wherein R⁷ is at position 4, 5 or 6; and pharmaceutically acceptable derivatives thereof.

39. The compound of Claim 38 wherein R⁷ is selected from aminomethyl, aminoethyl, aminopropyl, isopropylaminomethyl, t-butylaminomethyl, iso-butylaminomethyl, 1-methylpropylaminomethyl, 2-methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl, 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl, isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-(isopropylamino)-1-methylethyl, N-

- isopropyl-N-ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-butyl-N-methylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, isopropylamino-allyl, t-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;
- and pharmaceutically acceptable derivatives thereof.

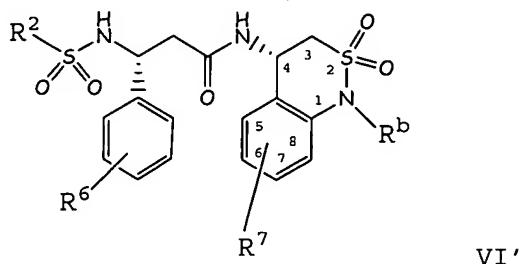
40. The compound of Claim 38 wherein R⁷ is substituted at position 5.

41. The compound of Claim 38 wherein R^2 is 2-naphthyl, 3,4-dichlorophenyl or 3-trifluoromethylphenyl.

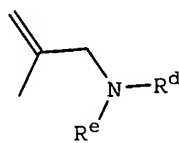
42. The compound of Claim 38 wherein R^6 is H.

5

43. A compound of Formula VI'



- 10 wherein R^b is selected from H and C_{1-3} alkyl;
 wherein R^2 is selected from naphthyl, phenyl, pyridinyl,
 quinolinyl and isoquinolinyl, and wherein each is
 optionally substituted with one to three substituents
 selected from chloro, fluoro, methoxy, methyl,
 15 trifluoromethyl, and phenyl;
 wherein R^6 is selected from halo, phenyl, methyl, methoxy
 and $-CF_3$;



- wherein R^7 is selected from R^e , C_{3-6} -cycloalkyl (C_1 -
 C_2)alkylamino (C_1 - C_2)alkyl, C_{3-6} -cycloalkylamino (C_1 - C_2)alkyl,
 20 (C_1 - C_2)alkoxy (C_1 - C_2)alkylamino (C_1 - C_2)alkyl, mono- C_{2-4} -
 alkenylamino- C_{1-4} -alkyl, di- C_{2-4} -alkenylamino- C_{1-4} -alkyl,
 hydroxy- C_{1-4} -alkylamino- C_{1-4} -alkyl, aminocarbonyl- C_{1-4} -
 alkylamino- C_{1-2} -alkyl, mono- C_{1-6} -alkylamino- C_{1-4} -alkyl, di-
 C_{1-4} -alkylamino- C_{1-4} -alkyl and 5-8 membered heterocyclyl- C_{1-4} -
 25 C_{1-4} -alkyl; wherein the 5-8 membered heterocyclyl- $(CH_2)_p$ -
 optionally substituted with one to three groups
 independently selected from halo, $-NH_2$, $-OH$, $-CN$, $-CF_3$,

(C₁-C₆)alkylamino, oxo, (C₁-C₆)alkoxy, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸,
-C(O)NR⁸R^{8'}, -NR⁸C(O)R^{8'}, =NCN;

wherein R^d is selected from C₁₋₅-alkyl, C₃₋₆-cycloalkyl, C₃₋₆-
5 cycloalkyl-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl, C₁₋₃-alkoxy-C₁₋₃-
alkyl and H; and

wherein R^e is H; or where R^d and R^e together with the
nitrogen atom to which they are attached form a 4-8
membered nitrogen-containing heterocyclic ring;

10 p is 1 or 2; and

wherein R⁸ and R^{8'} independently are selected from H, and
lower alkyl, aryl and heteroaryl, each of which is
optionally substituted with one, two or three groups
independently selected from lower alkyl, halogen,
15 lower alkoxy, hydroxy, amino, mono- or dialkylamino,
and trifluoromethyl;

wherein R⁷ is at position 6, 7 or 8;

and pharmaceutically acceptable derivatives thereof.

20 44. The compound of Claim 43 wherein R⁷ is selected
from aminomethyl, aminoethyl, aminopropyl,
isopropylaminomethyl, t-butylaminomethyl, iso-
butylaminomethyl, 1-methylpropylaminomethyl, 2-
methylbutylaminomethyl, 2,2'-dimethylpropylaminomethyl,
25 2,2',3-trimethylpropylaminomethyl, allyl-aminomethyl,
isopropylaminopropyl, 1-(isobutylamino)ethyl, 1-
(isopropylamino)-1-methylethyl, N-isopropyl-N-
ethylaminomethyl, N-isopropyl-N-methylaminomethyl, N-t-
butyl-N-methylaminomethyl, N-iso-butyl-N-methylaminomethyl,
30 N-t-butyl-N-ethylaminomethyl, N-isobutyl-N-
methylaminomethyl, N-t-butyl-N-isopropylaminomethyl, N,N-
di(isopropyl)aminomethyl, N,N-dimethylaminomethyl, N,N-
diethylaminomethyl, N,N-di(t-butyl)-aminomethyl, N,N-
di(allyl)-aminomethyl, cyclopropylaminomethyl, 1-

(cyclopropylamino)ethyl, cyclobutylaminomethyl, 2-(cyclobutylamino)ethyl, 1-(cyclobutylamino)ethyl, cyclopentylaminomethyl, 1-cyclopentylaminoethyl, cyclopropylmethylaminomethyl, hydroxyethylamino-allyl, 5 isopropylamino-allyl, *t*-butylamino-allyl, cyclopropylmethylamino-allyl, piperidin-1-yl-allyl, pyrrolidin-1-yl-allyl, azetidin-1-yl-allyl, 3-hydroxypyrrolidin-1-yl-allyl, aminocarbonylethylaminomethyl, methoxyethylaminomethyl, 1-(methoxyethylamino)ethyl, 1-10 piperidinylmethyl, 2-(piperidin-1-yl)ethyl, 3,4-dihydropiperidin-1-ylmethyl, 4-fluoropiperidinylmethyl, 4,4'-difluoropiperidinylmethyl, 4-(piperidin-1-yl)piperidinylmethyl, 3-aminocarbonylpiperidin-1-ylmethyl, 4-(dimethylamino)piperidin-1-ylmethyl, 2,6-15 dimethylpiperidin-1-ylmethyl, 3,3-dimethylpiperidin-1-ylmethyl, piperidin-1-yl-2-methylethyl, 3-hydroxypiperidin-1-yl, 4-morpholinylmethyl, 4-morpholinylethyl, 1-pyrrolidinylmethyl, 2-methylpyrrolidin-1-ylmethyl, 1-(methylpyrrolidin-1-yl)ethyl, 2,5-dimethylpyrrolidin-1-20 ylmethyl, 1-azetidinylmethyl, 7-aza-bicyclo[2.2.1]heptyl, piperazin-1-ylmethyl, 4-methylpiperazin-1-ylmethyl, and 1-pyrrolidinylethylaminomethyl;

and pharmaceutically acceptable derivatives thereof.

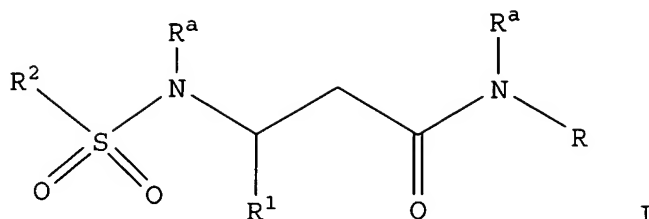
25 45. The compound of Claim 43 wherein R⁷ is substituted at position 7.

46. The compound of Claim 43 wherein R² is 2-naphthyl, 3,4-dichlorophenyl or 3-trifluoromethylphenyl.

30

47. The compound of Claim 43 wherein R⁶ is H.

48. A compound of formula I



- wherein R is a 9-11 membered fused bicyclic carbocyclic or heterocyclic ring substituted with one to three basic
- 5 moieties, and optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, oxo, (C₁-C₆)alkoxy, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)R^{8'}, and
- 10 (C₁-C₆)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl,
- 15 (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'};
- wherein R⁸ and R^{8'} independently are selected from H, and lower alkyl, aryl and heteroaryl, each of which is
- 20 optionally substituted with one, two or three groups independently selected from lower alkyl, halogen, lower alkoxy, hydroxy, amino, mono- or dialkylamino, and trifluoromethyl;
- wherein R¹ is selected from cycloalkyl, aryl, heteroaryl and
- 25 heterocyclyl, each of which is optionally substituted with one to five groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino,
- 30 -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'}, and

(C₂-C₆)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'};

wherein R² is selected from arylalkenyl, aryl, and heterocyclyl, wherein R² is optionally substituted with one to five groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, oxo, (C₁-C₆)alkoxy, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, -NR⁸C(O)R^{8'}, and

(C₁-C₆)alkyl, aryl, heteroaryl, cycloalkyl and heterocyclyl, each of which is optionally substituted with one to three groups independently selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'}; and

wherein each R^a is independently selected from H and C₁₋₄-alkyl, and aryl optionally substituted with one to three groups selected from halo, -NH₂, -OH, -CN, -CF₃, (C₁-C₆)alkylamino, halo(C₁-C₆)alkyl, oxo, (C₁-C₆)alkoxy, (C₁-C₆)alkoxy(C₁-C₆)alkyl, (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, di(C₁-C₆)alkylamino, -C(O)R⁸, -COOR⁸, -C(O)NR⁸R^{8'}, and -NR⁸C(O)R^{8'};

and pharmaceutically acceptable derivatives thereof.

49. A compound and/or pharmaceutically acceptable derivatives thereof comprising 1-(2-((5R)-5-(((3R)-3-phenyl-3-(((3-(trifluoromethyl)phenyl)sulfonyl)amino)propanoyl)-amino)-5,6,7,8-tetrahydro-2-naphthalenyl)-2-propenyl)-L-proline.

50. A pharmaceutically acceptable salt of a compound of Claim 1.

51. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound of Claim 1.

52. A method of treating pain comprising administering an effective amount a compound of Claim 1.

53. A pharmaceutical composition for the treatment of disease conditions mediated by bradykinin, in a mammalian subject, which comprises a therapeutically effective amount of a compound according to Claim 1 and a pharmaceutically acceptable carrier.

54. A pharmaceutical composition for the treatment of inflammation, rheumatoid arthritis, cystitis, post-traumatic and post ischemic cerebral edema, liver cirrhosis, Alzheimer's disease, cardiovascular disease, pain, common cold, allergies, asthma, pancreatitis, burns, virus infection, head injury, multiple trauma, rhinitis, hepatorenal failure, diabetes, metastasis, pancreatitis, neovascularization, corneal haze, glaucoma, ocular pain, ocular hypertension or angio edema, which comprises a therapeutically effective amount of a compound of Claim 1 and a pharmaceutically acceptable carrier.

55. A method for the treatment of disease conditions mediated by bradykinin, in a mammalian subject, which comprises administering to said subject a therapeutically effective amount of a compound according to Claim 1.

5 56. A method for the treatment of inflammation, rheumatoid arthritis, cystitis, post-traumatic and post ischemic cerebral edema, liver cirrhosis, Alzheimer's disease, cardiovascular disease, pain, common cold, allergies, asthma, pancreatitis, burns, virus infection,
10 head injury, multiple trauma, rhinitis, hepatorenal failure, diabetes, metastasis, pancreatitis, neovascularization, corneal haze, glaucoma, ocular pain, ocular hypertension or angio edema, in a mammalian subject, which comprises administering to said subject a therapeutically effective
15 amount of a compound according to Claim 1.

57. A pharmaceutical formulation comprising a compound according to Claim 1, a pharmaceutically acceptable carrier and, optionally, one or more other pharmacologically active ingredients.

20

58. A method of treating, preventing, or ameliorating a disease or condition associated with B1 activity comprising administering to a human or animal subject a therapeutically effective amount of a compound according to
25 Claim 1.

59. The method according to claim 56 wherein the disease or condition is selected from the group consisting of inflammation, inflammatory pain, acute pain, dental pain,
30 back pain, lower back pain, pain from trauma, surgical pain, inflammatory bowel disorders, asthma, and allergic rhinitis.

60. The use of a compound according to Claim 1 in the manufacture of a medicament for the treatment of a disease or condition selected from the group consisting of group consisting of inflammation, inflammatory pain, acute pain,
5 dental pain, back pain, lower back pain, pain from trauma, surgical pain, inflammatory bowel disorders, asthma, and allergic rhinitis.

61. A compound as in Claim 1 for use in a method of
10 therapeutic treatment for the human or animal body.

62. A compound according to Claim 18 wherein the C ring and the phenyl to which it is attached forms a chroman ring.